Applicant : Lin ZHI et al.

Serial No. : To Be Assigned

Attorney's Docket No.: 18202-027US1 / 1110US

Preliminary Amendment

National Stage of PCT/US2005/06627

Filed: Herewith

R<sub>14</sub> and R<sub>15</sub> are each independently selected from among hydrogen, an optionally substituted alkyl, an optionally substituted alkenyl, an optionally substituted alkynyl, an optionally substituted haloalkyl, an optionally substituted aryl, an optionally substituted heterocyclyl, an optionally substituted cycloalkyl and an optionally substituted heteroalkyl, or

R<sub>14</sub> and R<sub>15</sub> together form an optionally substituted 4-7 member ring;

R<sub>16</sub> is selected from among hydrogen, an optionally substituted alkyl, an optionally substituted alkenyl, an optionally substituted alkynyl, an optionally substituted haloalkyl, an optionally substituted heteroalkyl, an optionally substituted heteroayl, an optionally substituted heterocyclyl and an optionally substituted cycloalkyl;

X is selected from among O, S, and NR<sub>17</sub>; and

R<sub>17</sub> is selected from <u>among</u> hydrogen and an optionally substituted alkyl, an optionally substituted alkenyl and an optionally substituted alkynyl;

wherein the substituents on the alkyl, alkenyl, alkynyl, aralkyl, aryl, heteroaryl, heterocyclyl, and cycloalkyl groups, when present, are each individually and independently selected from one to four group(s) selected from among: alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, non-aromatic heterocycle, hydroxy, alkoxy, alkoxyalkoxy, aryloxy, mercapto, alkylthio, arylthio, cyano, halo, carbonyl, imino, hydroxyimino, alkoxyimino, aryloxyimino, aralkoxyiminothiocarbonyl, O-carbamyl, N-carbamyl, O-thiocarbamyl, N-thiocarbamyl, C-amido, N-amido, S-sulfonamido, N-sulfonamido, C-carboxy, O-carboxy, isocyanato, thiocyanato, isothiocyanato, nitro, silyl, trihalomethanesulfonyl, heteroaryloxy, heteroaralkoxy, heterocyclyloxy, cycloalkoxy, perfluoroalkoxy, alkenyloxy, alkynyloxy, aralkoxy, alkylcarbonyloxy, arylcarbonyloxy, aralkylcarbonyloxy, alkoxycarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylarylaminocarbonyloxy, diarylaminocarbonyloxy and amino; including mono- and di-substituted amino groups, and the protected derivatives of amino groups;

wherein at least one position selected from among  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ , and  $R_6$  is not hydrogen;

at least one position selected from among R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, and R<sub>10</sub> is not hydrogen; if R<sub>4</sub> is F, then at least one position selected from among R<sub>2</sub>, R<sub>3</sub>, R<sub>5</sub> and R<sub>6</sub> is not hydrogen;

Attorney's Docket No.: 18202-027US1 / 1110US Supplementary Preliminary Amendment

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- (Z)-5-(2'-(morpholinemorpholinocarbonyl)-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 96);
- (Z)-5-(8'-(6'-fluoro-benzo-1',3'-dioxan-methylidienemethylidene))-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 97);
- (Z)-5-(2'-dimethylaminocarbonyl-3'-methoxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-f]quinoline (compound 98);
- (Z)-5-(2'-(4"-methylpiperazinecarbonyl)-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 99);
- (Z)-5-(2'-methyl-3'-phenylbenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 100);
- (Z)-5-(3',5'-di-methoxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 101);
- (Z)-5-(2'-(piperidinecarbonyl)-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 102);
- (Z)-5-(2'-dimethylaminosulphonyl-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 103);
- (Z)-5-(3'-phenoxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 104);
- (Z)-5-(2'-(ethylmethylamino)carbonyl-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 105);
- (Z)-5-(2'-(cyclohexylmethylamino)carbonyl-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 106);
- (Z)-5-(2'-cyanobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-f]quinoline (compound 107);
- (Z)-5-(2',3',5',6'-tetrafluoro-4'-methoxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 108);
- (Z)-5-(3'-hydroxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 109);
- (Z)-5-(2'-(piperidinesulphonyl)-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5H-chromeno[3,4-f]quinoline (compound 110);
- (Z)-5-(1'-napthyl-naphthylmethylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 111);

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- (Z)-5-(3'-(4"-fluorophenoxy)benzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 95);
- (Z)-5-(2'-(morpholinomorpholinocarbonyl)-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 96);
- (Z)-5-(8'-(6'-fluoro-benzo-1',3'-dioxan-methylidienemethylidene))-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-f]quinoline (compound 97);
- (Z)-5-(2'-dimethylaminocarbonyl-3'-methoxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 98);
- (Z)-5-(2'-(4"-methylpiperazinecarbonyl)-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 99);
- (Z)-5-(2'-methyl-3'-phenylbenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 100);
- (Z)-5-(3',5'-di-methoxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 101);
- (Z)-5-(2'-(piperidinecarbonyl)-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 102);
- (Z)-5-(2'-dimethylaminosulphonyl-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 103);
- (Z)-5-(3'-phenoxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 104);
- (Z)-5-(2'-(ethylmethylamino)carbonyl-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 105);
- (Z)-5-(2'-(cyclohexylmethylamino)carbonyl-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 106);
- (Z)-5-(2'-cyanobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 107);
- (Z)-5-(2',3',5',6'-tetrafluoro-4'-methoxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 108);
- (Z)-5-(3'-hydroxybenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 109);
- (Z)-5-(2'-(piperidinesulphonyl)-4'-fluorobenzylidene)-1,2-dihydro-9-hydroxy-10-methoxy-2,2,4-trimethyl-5*H*-chromeno[3,4-*f*]quinoline (compound 110);